



TITLE:

# Synthesis of 5-(Aminoacylamido)-3-methylisothiazole Derivatives and Their Analgesic Action

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CITATION:

Uyeno, shojiro ...[et al]. Synthesis of 5-(Aminoacylamido)-3-methylisothiazole Derivatives and Their Analgesic Action. Bulletin of the Institute for Chemical Research, Kyoto University 1963, 41(2-4): 225-225

ISSUE DATE:

1963-10-23

URL:

<http://hdl.handle.net/2433/75952>

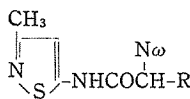




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## Synthesis of 5-(Aminoacylamido)-3-methylisothiazole Derivatives and Their Analgesic Action

Shojiro UYEO, Hajime FUJIMURA and Atsushi ASAI

*Yakugaku Zasshi (Journal of the Pharmaceutical  
Society of Japan)*, 83, 195 (1963)

5-(2-Haloacylamido)-3-methylisothiazoles were prepared by treatment of 5-amino-3-methylisothiazole with 2-haloacyl halides and afforded with dimethylamine, diethylamine, piperidine, morpholine and pyrrolidine the corresponding 5-(2-alkyl-aminoacylamido)-3-methylisothiazoles respectively. Interaction of 5-amino-3-methylthiazole and *p*-nitrobenzoyl chloride gave 5-(*p*-nitrobenzamino)-3-methylisothiazole which was reduced with iron in acetic acid to afford 5-(*p*-aminobenzamido)-3-methylisothiazole. Analgesic action and toxicity of these compounds were tested and most of them, especially compounds V, VI, X, and XI were more effective than aminopyrine.

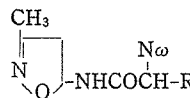

	Compd. No.	Substituents	
		R	N $\omega$
	V	H	
	VI	H	
	X	CH <sub>3</sub>	
	XI	CH <sub>3</sub>	

## Synthesis of 5-(Aminoacylamido)-3-methylisoxazole Derivatives and Their Analgesic Action

Shojiro UYEO, Hajime FUJIMURA and Atsushi ASAI

*Yakugaku Zasshi (Journal of the Pharmaceutical  
Society of Japan)*, 83, 198 (1963)

5-(2-Haloacylamido)-3-methylisoxazoles were prepared by treatment of 5-amino-3-methylisoxazole with 2-haloacyl halides and afforded with dimethylamine, diethylamine, piperidine, morpholine, and pyrrolidine the corresponding 5-(2-alkyl-aminoacylamido)-3-methylisoxazoles respectively. Examination of analgesic action and toxicity showed that compounds VI and XI were more favorable than aminopyrine.

	Compd. No.	Substituents	
		R	N $\omega$
	VI	H	
	XI	CH <sub>3</sub>	